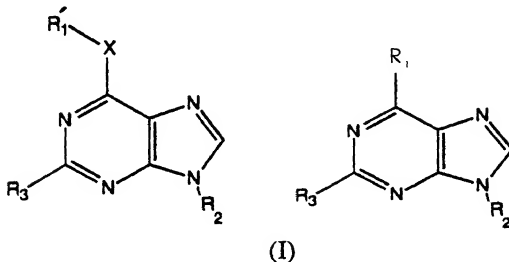


What we claim is:

1. A 2,6,9-trisubstituted purine composition of matter having the following formula:



wherein  $R_1$  is halogen or  $R'_1-X$  wherein  $X = NH, O, S, S(O_2)$ .

$R'_1$  is alkyl, heterocyclyl, aryl, heteroaryl, aralkyl, heteroarylalkyl, alkenyl, and alkynyl, each having one to 20 carbon atoms, which alkyl, heterocyclyl, aryl, heteroaryl, aralkyl, heteroarylalkyl, alkenyl, and alkynyl, are optionally substituted with from 1 to 3 substituents independently selected from the group consisting of halo, aryl,  $CF_3$ , heteroaryl, heterocyclyl,  $R^{22}$ ,  $SR^{20}$ ,  $S(O)R^{21}$ ,  $SO_2R^{21}$ ,  $SO_2NR^{20}R^{23}$ ,  $SO_2NR^{20}COR^{21}$ ,  $SO_2NR^{20}CONR^{20}R^{23}$ ,  $SO_2NR^{20}CO_2R^{21}$ ,  $NR^{20}R^{23}$ ,  $NR^{20}COR^{21}$ ,  $NR^{20}CO_2R^{21}$ ,  $NR^{20}CONR^{20}R^{23}$ ,  $N(R^{20})C(NR^{20})NHR^{23}$ ,  $NR^{20}SO_2R^{21}$ ,  $OR^{20}$ ,  $OCONR^{20}R^{23}$ ,  $OCONR^{20}SO_2R^{21}$ ,  $OCONR^{20}R^{23}$ ,  $CN$ ,  $CO_2R^{20}$ ,  $CONR^{20}R^{23}$ ,  $CONR^{20}SO_2R^{21}$  and  $COR^{20}$ ;

$R_2$  is a hydrogen or hydrocarbon selected from the group alkyl, heterocyclyl, aryl, heteroaryl, aralkyl, heteroarylalkyl, alkenyl, and alkynyl, each having one to 20 carbon atoms,



$\text{NR}^{20}\text{CONR}^{20}\text{R}^{23}$ ,  $\text{N}(\text{R}^{20})\text{C}(\text{NR}^{20})\text{NHR}^{23}$ ,  $\text{NR}^{20}\text{SO}_2\text{R}^{21}$ ,  $\text{OR}^{20}$ ,  $\text{OCONR}^{20}\text{R}^{23}$ ,  $\text{OCONR}^{20}\text{SO}_2\text{R}^{21}$ ,  $\text{OCONR}^{20}\text{R}^{23}$ ,  $\text{CN}$ ,  $\text{CO}_2\text{R}^{20}$ ,  $\text{CONR}^{20}\text{R}^{23}$ ,  $\text{CONR}^{20}\text{SO}_2\text{R}^{21}$  and  $\text{COR}^{20}$ ,

with the proviso that when Y is carbonyl, Y and R<sub>4</sub> together may be a single oxygen atom,

R<sub>4</sub>'' and R<sub>5</sub>'' together may be a single oxygen atom, R<sub>4</sub>''' and R<sub>5</sub>''' may together be a single

oxygen atom, and wherein when R<sub>3</sub> is 2-hydroxyethylamino and R<sub>2</sub> is methyl, R<sub>1</sub>'-X is not

amino, 3-methyl-2-butenylamino, benzylamino, or m-hydroxybenzylamino, when R<sub>3</sub> is not 2-

hydroxyethylamino, when R<sub>2</sub> is isopropyl, R<sub>1</sub>'-X is not benzylamino, m-hydroxybenzylamino,

or 3-methylbutylamino, when R<sub>3</sub> is 2-hydroxyethylamino and R<sub>2</sub> is 2-hydroxyethyl, R<sub>1</sub>'-X is

not benzylamino and when R<sub>3</sub> is selected from the group consisting of 2-methyl-2-

hydroxypropylamino, and 2-dimethylaminoethylamino, and when R<sub>2</sub> is methyl, then R<sub>1</sub>'-X is

not benzylamino;

R<sup>20</sup> is a member selected from the group consisting of H, C<sub>1-15</sub> alkyl, C<sub>2-15</sub> alkenyl, C<sub>2-</sub>

<sub>15</sub> alkynyl, heterocyclyl, aryl, and heteroaryl, which alkyl, alkenyl, alkynyl, heterocyclyl, aryl,

and heteroaryl are optionally substituted with 1 to 3 substituents independently selected from

halo, alkyl, mono- or dialkylamino, alkyl or aryl or heteroaryl amide, CN, O-C<sub>1-6</sub> alkyl, CF<sub>3</sub>,

aryl, and heteroaryl;

R<sup>21</sup> is a member selected from the group consisting of C<sub>1-15</sub> alkyl, C<sub>2-15</sub> alkenyl, C<sub>2-</sub>

<sub>15</sub> alkynyl, heterocyclyl, aryl, and heteroaryl, which alkyl, alkenyl, alkynyl, aryl, heterocyclyl,

and heteroaryl are optionally substituted with 1 to 3 substituents independently selected from

the group of halo, heterocyclyl, aryl, heteroaryl, CF<sub>3</sub>, CN, OR<sup>20</sup>, SR<sup>20</sup>, N(R<sup>20</sup>)<sub>2</sub>, S(O)R<sup>22</sup>,

SO<sub>2</sub>R<sup>22</sup>, SO<sub>2</sub>N(R<sup>20</sup>)<sub>2</sub>, SO<sub>2</sub>NR<sup>20</sup>COR<sup>22</sup>, SO<sub>2</sub>NR<sup>20</sup>CO<sub>2</sub>R<sup>22</sup>, SO<sub>2</sub>NR<sup>20</sup>CON(R<sup>20</sup>)<sub>2</sub>, N(R<sup>20</sup>)<sub>2</sub>

NR<sup>20</sup>COR<sup>22</sup>, NR<sup>20</sup>CO<sub>2</sub>R<sup>22</sup>, NR<sup>20</sup>CON(R<sup>20</sup>)<sub>2</sub>, NR<sup>20</sup>C(NR<sup>20</sup>)NHR<sup>23</sup>, COR<sup>20</sup>, CO<sub>2</sub>R<sup>20</sup>,

CON(R<sup>20</sup>)<sub>2</sub>, CONR<sup>20</sup>SO<sub>2</sub>R<sup>22</sup>, NR<sup>20</sup>SO<sub>2</sub>R<sup>22</sup>, SO<sub>2</sub>NR<sup>20</sup>CO<sub>2</sub>R<sup>22</sup>, OR<sup>20</sup>, OCONR<sup>20</sup>SO<sub>2</sub>R<sup>22</sup>,

OC(O)R<sup>20</sup>, C(O)OCH<sub>2</sub>OC(O)R<sup>20</sup>, and OCON(R<sup>20</sup>)<sub>2</sub>, and each optional heteroaryl, aryl, and heterocyclyl substituent is optionally substituted with halo, alkyl, CF<sub>3</sub>, amino, mono- or dialkylamino, alkyl or aryl or heteroaryl amide, NCOR<sup>22</sup>, NR<sup>20</sup>SO<sub>2</sub>R<sup>22</sup>, COR<sup>20</sup>, CO<sub>2</sub>R<sup>20</sup>, CON(R<sup>20</sup>)<sub>2</sub>, NR<sup>20</sup>CON(R<sup>20</sup>)<sub>2</sub>, OC(O)R<sup>20</sup>, OC(O)N(R<sup>20</sup>)<sub>2</sub>, SR<sup>20</sup>, S(O)R<sup>22</sup>, SO<sub>2</sub>R<sup>22</sup>, SO<sub>2</sub>N(R<sup>20</sup>)<sub>2</sub>,  
 5 CN, or OR<sup>20</sup>;

R<sup>22</sup> is a member selected from the group consisting of C<sub>1-15</sub> alkyl, C<sub>2-15</sub> alkenyl, C<sub>2-15</sub> alkynyl, heterocyclyl, aryl, and heteroaryl, which alkyl, alkenyl, alkynyl, heterocyclyl, aryl, and heteroaryl are optionally substituted with 1 to 3 substituents independently selected from halo, alkyl, mono- or dialkylamino, alkyl or aryl or heteroaryl amide, CN, O-C<sub>1-6</sub> alkyl, CF<sub>3</sub>,  
 10 aryl, and heteroaryl; and

R<sup>23</sup> is R<sup>21</sup> or H.

2. A 2,6,9-trisubstituted purine composition of claim 1 wherein:

R'<sub>1</sub> is a alkyl, heterocyclyl, aryl, heteroaryl, aralkyl, heteroarylalkyl, alkenyl, and alkynyl, each having one to 20 carbon atoms, which alkyl, heterocyclyl, aryl, heteroaryl, aralkyl, heteroarylalkyl, alkenyl, and alkynyl, are optionally substituted with from 1 to 3 substituents independently selected from the group consisting of halo, CF<sub>3</sub>, aryl, heteroaryl, heterocyclyl, R<sup>22</sup>, SR<sup>20</sup>, S(O)R<sup>21</sup>, SO<sub>2</sub>R<sup>21</sup>, SO<sub>2</sub>NR<sup>20</sup>R<sup>23</sup>, NR<sup>20</sup>R<sup>23</sup>, NR<sup>20</sup>COR<sup>21</sup>, NR<sup>20</sup>CO<sub>2</sub>R<sup>21</sup>, NR<sup>20</sup>CONR<sup>20</sup>R<sup>23</sup>, NR<sup>20</sup>SO<sub>2</sub>R<sup>21</sup>, OR<sup>20</sup>, CN, CO<sub>2</sub>R<sup>20</sup>, CONR<sup>20</sup>R<sup>23</sup>, and COR<sup>20</sup>;  
 15

R<sub>2</sub> is a hydrogen or hydrocarbon selected from the group substituted alkyl, heterocyclyl, aryl, heteroaryl, aralkyl, heteroarylalkyl, alkenyl, and alkynyl, each having one to 20 carbon atoms, which alkyl, heterocyclyl, aryl, heteroaryl, aralkyl, heteroarylalkyl, alkenyl, and alkynyl, are optionally substituted with from 1 to 3 substituents independently selected from the group consisting of halo, aryl, heteroaryl, heterocyclyl, R<sup>22</sup>, SR<sup>20</sup>, S(O)R<sup>21</sup>, SO<sub>2</sub>R<sup>21</sup>,  
 20

09929772.092001

$\text{SO}_2\text{NR}^{20}\text{R}^{23}$ ,  $\text{NR}^{20}\text{R}^{23}$ ,  $\text{NR}^{20}\text{COR}^{21}$ ,  $\text{NR}^{20}\text{CO}_2\text{R}^{21}$ ,  $\text{NR}^{20}\text{CONR}^{20}\text{R}^{23}$ ,  $\text{NR}^{20}\text{SO}_2\text{R}^{21}$ ,  $\text{OR}^{20}$ ,  $\text{CN}$ ,  $\text{CO}_2\text{R}^{20}$ ,  $\text{CONR}^{20}\text{R}^{23}$ , and  $\text{COR}^{20}$ ;

$\text{R}_4$  and  $\text{R}_5$  are each independently hydrogen,  $\text{OR}_{20}$ ,  $\text{NR}_{20}\text{R}_{23}$ , or a hydrocarbon selected from the group including alkyl, acyl, heterocyclyl, aryl, heteroaryl, aralkyl, heteroarylalkyl, alkenyl, and alkynyl, each having one to 20 carbon atoms, which alkyl, acyl, heterocyclyl, aryl, heteroaryl, aralkyl, heteroarylalkyl, alkenyl, and alkynyl, are optionally substituted with from 1 to 3 substituents independently selected from the group consisting of halo, aryl, heteroaryl, heterocyclyl,  $\text{R}^{22}$ ,  $\text{SR}^{20}$ ,  $\text{S(O)R}^{21}$ ,  $\text{SO}_2\text{R}^{21}$ ,  $\text{SO}_2\text{NR}^{20}\text{R}^{23}$ ,  $\text{NR}^{20}\text{R}^{23}$ ,  $\text{NR}^{20}\text{COR}^{21}$ ,  $\text{NR}^{20}\text{CO}_2\text{R}^{21}$ ,  $\text{NR}^{20}\text{CONR}^{20}\text{R}^{23}$ ,  $\text{NR}^{20}\text{SO}_2\text{R}^{21}$ ,  $\text{OR}^{20}$ ,  $\text{CN}$ ,  $\text{CO}_2\text{R}^{20}$ ,  $\text{CONR}^{20}\text{R}^{23}$ , and  $\text{COR}^{20}$ ;

$\text{R}^{20}$  is a member selected from the group consisting of  $\text{H}$ ,  $\text{C}_{1-8}$  alkyl,  $\text{C}_{2-8}$  alkenyl,  $\text{C}_{2-15}$  heterocyclyl, aryl, and heteroaryl, which alkyl, alkenyl, heterocyclyl, aryl, and heteroaryl are optionally substituted with 1 to 3 substituents independently selected from halo, alkyl, mono- or dialkylamino, alkyl or aryl or heteroaryl amide,  $\text{CN}$ ,  $\text{O-C}_{1-6}$  alkyl,  $\text{CF}_3$ , aryl, and heteroaryl;

$\text{R}^{21}$  is a member selected from the group consisting of  $\text{C}_{1-8}$  alkyl,  $\text{C}_{2-8}$  alkenyl, heterocyclyl, aryl, and heteroaryl, which alkyl, alkenyl, aryl, heterocyclyl, and heteroaryl are optionally substituted with 1 to 3 substituents independently selected from the group of halo, heterocyclyl, aryl, heteroaryl,  $\text{CF}_3$ ,  $\text{CN}$ ,  $\text{OR}^{20}$ ,  $\text{SR}^{20}$ ,  $\text{N(R}^{20})_2$ ,  $\text{S(O)R}^{22}$ ,  $\text{SO}_2\text{R}^{22}$ ,  $\text{SO}_2\text{N(R}^{20})_2$ ,  $\text{SO}_2\text{NR}^{20}\text{COR}^{22}$ ,  $\text{SO}_2\text{NR}^{20}\text{CO}_2\text{R}^{22}$ ,  $\text{SO}_2\text{NR}^{20}\text{CON(R}^{20})_2$ ,  $\text{N(R}^{20})_2\text{NR}^{20}\text{COR}^{22}$ ,  $\text{NR}^{20}\text{CO}_2\text{R}^{22}$ ,  $\text{NR}^{20}\text{CON(R}^{20})_2$ ,  $\text{NR}^{20}\text{C(NR}^{20})\text{NHR}^{23}$ ,  $\text{COR}^{20}$ ,  $\text{CO}_2\text{R}^{20}$ ,  $\text{CON(R}^{20})_2$ ,  $\text{CONR}^{20}\text{SO}_2\text{R}^{22}$ ,  $\text{NR}^{20}\text{SO}_2\text{R}^{22}$ ,  $\text{SO}_2\text{NR}^{20}\text{CO}_2\text{R}^{22}$ ,  $\text{OR}^{20}$ ,  $\text{OCONR}^{20}\text{SO}_2\text{R}^{22}$ ,  $\text{OC(O)R}^{20}$ ,  $\text{C(O)OCH}_2\text{OC(O)R}^{20}$ , and  $\text{OCON(R}^{20})_2$ , and each optional heteroaryl, aryl, and heterocyclyl substituent is optionally substituted with halo, alkyl,  $\text{CF}_3$ , amino, mono- or di-alkylamino, alkyl or aryl or heteroaryl

amide,  $\text{NCOR}^{22}$ ,  $\text{NR}^{20}\text{SO}_2\text{R}^{22}$ ,  $\text{COR}^{20}$ ,  $\text{CO}_2\text{R}^{20}$ ,  $\text{CON}(\text{R}^{20})_2$ ,  $\text{NR}^{20}\text{CON}(\text{R}^{20})_2$ ,  $\text{OC}(\text{O})\text{R}^{20}$ ,  $\text{OC}(\text{O})\text{N}(\text{R}^{20})_2$ ,  $\text{SR}^{20}$ ,  $\text{S}(\text{O})\text{R}^{22}$ ,  $\text{SO}_2\text{R}^{22}$ ,  $\text{SO}_2\text{N}(\text{R}^{20})_2$ ,  $\text{CN}$ , or  $\text{OR}^{20}$ ; and

$\text{R}^{22}$  is a member selected from the group consisting of  $\text{C}_{1-8}$  alkyl,  $\text{C}_{2-8}$  alkenyl, heterocyclyl, aryl, and heteroaryl, which alkyl, alkenyl, heterocyclyl, aryl, and heteroaryl are optionally substituted with 1 to 3 substituents independently selected from halo, alkyl, mono- or dialkylamino, alkyl or aryl or heteroaryl amide,  $\text{CN}$ ,  $\text{O-C}_{1-6}$  alkyl,  $\text{CF}_3$ , aryl, and heteroaryl.

3. A 2,6,9-trisubstituted purine composition of claim 1 wherein:

$\text{R}'_1$  is alkyl, heterocyclyl, aryl, heteroaryl, aralkyl, heteroarylalkyl, alkenyl, and alkynyl, each having one to 20 carbon atoms, which alkyl, heterocyclyl, aryl, heteroaryl, aralkyl, heteroarylalkyl, alkenyl, and alkynyl, are optionally substituted with from 1 to 3 substituents independently selected from the group consisting of halo,  $\text{CF}_3$ , aryl, heteroaryl, heterocyclyl,  $\text{R}^{22}$ ,  $\text{SR}^{20}$ ,  $\text{S}(\text{O})\text{R}^{21}$ ,  $\text{SO}_2\text{R}^{21}$ ,  $\text{SO}_2\text{NR}^{20}\text{R}^{23}$ ,  $\text{NR}^{20}\text{R}^{23}$ ,  $\text{NR}^{20}\text{COR}^{21}$ ,  $\text{NR}^{20}\text{CO}_2\text{R}^{21}$ ,  $\text{NR}^{20}\text{SO}_2\text{R}^{21}$ ,  $\text{OR}^{20}$ ,  $\text{CN}$ ,  $\text{CO}_2\text{R}^{20}$ ,  $\text{CONR}^{20}\text{R}^{23}$ , and  $\text{COR}^{20}$ ,

$\text{R}_2$  is a hydrogen or hydrocarbon selected from the group including alkyl, heterocyclyl, and aryl, each having one to 10 carbon atoms, which alkyl, heterocyclyl, aryl, are optionally substituted with from 1 to 3 substituents independently selected from the group consisting of halo, aryl, heteroaryl, heterocyclyl,  $\text{R}^{22}$ ,  $\text{SR}^{20}$ ,  $\text{S}(\text{O})\text{R}^{21}$ ,  $\text{SO}_2\text{R}^{21}$ ,  $\text{SO}_2\text{NR}^{20}\text{R}^{23}$ ,  $\text{NR}^{20}\text{R}^{23}$ ,  $\text{NR}^{20}\text{COR}^{21}$ ,  $\text{NR}^{20}\text{CO}_2\text{R}^{21}$ ,  $\text{NR}^{20}\text{SO}_2\text{R}^{21}$ ,  $\text{OR}^{20}$ ,  $\text{CN}$ ,  $\text{CO}_2\text{R}^{20}$ ,  $\text{CONR}^{20}\text{R}^{23}$ , and  $\text{COR}^{20}$ ,

$\text{R}_4$  and  $\text{R}_5$  are each independently hydrogen,  $\text{OR}_{20}$ ,  $\text{NR}_{20}\text{R}_{23}$ , or a hydrocarbon selected from the group including alkyl, acyl, heterocyclyl, aryl, heteroaryl, aralkyl, alkenyl, and alkynyl, each having one to 20 carbon atoms, which alkyl, acyl, heterocyclyl, aryl, heteroaryl, aralkyl, alkenyl, and alkynyl, are optionally substituted with from 1 to 3 substituents independently selected from the group consisting of halo, aryl, heteroaryl, heterocyclyl,  $\text{R}^{22}$ ,

$SR^{20}$ ,  $S(O)R^{21}$ ,  $SO_2R^{21}$ ,  $SO_2NR^{20}R^{23}$ ,  $NR^{20}R^{23}$ ,  $NR^{20}COR^{21}$ ,  $NR^{20}CO_2R^{21}$ ,  $NR^{20}SO_2R^{21}$ ,  $OR^{20}$ ,  
CN,  $CO_2R^{20}$ ,  $CONR^{20}R^{23}$ , and  $COR^{20}$ ;

$R^{20}$  is a member selected from the group consisting of H,  $C_{1-8}$ alkyl, aryl, and heteroaryl,  
which alkyl, aryl, and heteroaryl are optionally substituted with 1 to 3 substituents  
independently selected from halo, alkyl, mono- or dialkylamino, alkyl or aryl or heteroaryl  
amide, CN,  $O-C_{1-6}$  alkyl,  $CF_3$ ;

$R^{21}$  is a member selected from the group consisting of  $C_{1-8}$  alkyl, aryl, and heteroaryl,  
which alkyl, aryl, and heteroaryl are optionally substituted with 1 to 2 substituents  
independently selected from the group of halo,  $CF_3$ , CN,  $OR^{20}$ ,  $SR^{20}$ ,  $N(R^{20})_2$ ,  $S(O)R^{22}$ ,  
 $SO_2R^{22}$ ,  $SO_2N(R^{20})_2$ ,  $NR^{20}CO_2R^{22}$ ,  $NR^{20}CON(R^{20})_2$ ,  $COR^{20}$ ,  $CO_2R^{20}$ ,  $CON(R^{20})_2$ ,  
 $NR^{20}SO_2R^{22}$ ,  $OR^{20}$ ; and

$R^{22}$  is a member selected from the group consisting of  $C_{1-8}$  alkyl, aryl, and heteroaryl,  
which alkyl, aryl, and heteroaryl are optionally substituted with 1 to 3 substituents  
independently selected from halo, alkyl, mono- or dialkylamino, alkyl or aryl or heteroaryl  
amide, CN,  $O-C_{1-6}$  alkyl,  $CF_3$ , aryl, and heteroaryl.

4. A 2,6,9-trisubstituted purine composition of claim 1 wherein:

$R'_1$  is a alkyl, heterocyclyl, aryl, heteroaryl, aralkyl, heteroarylalkyl, alkenyl, and alkynyl,  
each having one to 20 carbon atoms, which alkyl, heterocyclyl, aryl, heteroaryl, aralkyl,  
heteroarylalkyl, alkenyl, and alkynyl, are optionally with from 1 to 2 substituents  
independently selected from the group consisting of halo,  $CF_3$ , aryl,  $R^{22}$ ,  $SR^{20}$ ,  $S(O)R^{21}$ ,  
 $SO_2R^{21}$ ,  $SO_2NR^{20}R^{23}$ ,  $NR^{20}R^{23}$ ,  $NR^{20}COR^{21}$ ,  $NR^{20}CO_2R^{21}$ ,  $NR^{20}SO_2R^{21}$ ,  $OR^{20}$ , CN,  $CO_2R^{20}$ ,  
and  $CONR^{20}R^{23}$ ;

$R_2$  is a hydrogen or hydrocarbon selected from the group alkyl, heterocyclyl, and aryl, each having one to 10 carbon atoms, which alkyl, heterocyclyl, aryl, are optionally substituted with from 1 to 2 substituents independently selected from the group consisting of halo, aryl, heteroaryl, heterocyclyl,  $R^{22}$ ,  $SR^{20}$ ,  $S(O)R^{21}$ ,  $SO_2R^{21}$ ,  $SO_2NR^{20}R^{23}$ ,  $NR^{20}R^{23}$ ,  $NR^{20}COR^{21}$ ,  $NR^{20}CO_2R^{21}$ ,  $NR^{20}SO_2R^{21}$ ,  $OR^{20}$ ,  $CN$ ,  $CO_2R^{20}$ ,  $CONR^{20}R^{23}$ , and  $COR^{20}$ ;

$R_4$  and  $R_5$  are each independently hydrogen, or a hydrocarbon selected from the group including alkyl, acyl, heterocyclyl, aryl, heteroaryl, aralkyl, alkenyl, and alkynyl, each having one to 20 carbon atoms, which alkyl, acyl, heterocyclyl, aryl, heteroaryl, aralkyl, alkenyl, and alkynyl, are optionally substituted with from 1 to 2 substituents independently selected from the group consisting of halo, aryl,  $R^{22}$ ,  $SR^{20}$ ,  $S(O)R^{21}$ ,  $SO_2R^{21}$ ,  $SO_2NR^{20}R^{23}$ ,  $NR^{20}R^{23}$ ,  $NR^{20}COR^{21}$ ,  $NR^{20}CO_2R^{21}$ ,  $NR^{20}SO_2R^{21}$ ,  $OR^{20}$ ,  $CN$ ,  $CO_2R^{20}$ , and  $CONR^{20}R^{23}$ ;

$R^{20}$  is a member selected from the group consisting of H,  $C_{1-8}$  alkyl, aryl, and heteroaryl, which alkyl, aryl, and heteroaryl are optionally substituted with 1 to 3 substituents independently selected from halo, alkyl, mono- or dialkylamino, alkyl or aryl or heteroaryl amide,  $CN$ ,  $O-C_{1-6}$  alkyl,  $CF_3$ ;

$R^{21}$  is a member selected from the group consisting of  $C_{1-8}$  alkyl, aryl, and heteroaryl, which alkyl, aryl, and heteroaryl are optionally substituted with 1 to 2 substituents independently selected from the group of halo,  $CF_3$ ,  $CN$ ,  $OR^{20}$ ,  $SR^{20}$ ,  $N(R^{20})_2$ ,  $S(O)R^{22}$ ,  $SO_2R^{22}$ ,  $SO_2N(R^{20})_2$ ,  $NR^{20}CO_2R^{22}$ ,  $NR^{20}CON(R^{20})_2$ ,  $COR^{20}$ ,  $CO_2R^{20}$ ,  $CON(R^{20})_2$ ,  $NR^{20}SO_2R^{22}$ ,  $OR^{20}$ ; and

$R^{22}$  is a member selected from the group consisting of  $C_{1-8}$  alkyl, aryl, and heteroaryl, which alkyl, aryl, and heteroaryl are optionally substituted with 1 to 3 substituents

0999772-092004

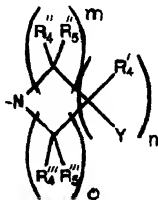


independently selected from halo, alkyl, mono- or dialkylamino, alkyl or aryl or heteroaryl amide, CN, O-C<sub>1-6</sub> alkyl, CF<sub>3</sub>, aryl, and heteroaryl.

5. The 2,6,9-trisubstituted purine composition of claim 1 wherein X=NH.

6. The 2,6,9-trisubstituted purine composition of claim 1 wherein R<sub>3</sub> is a component

5 having the formula:



where m=1-3, n=1-3, o=1,3, y=carbonyl, -NR<sub>4</sub>R<sub>5</sub>, hydroxyl, thiol, alkoxy, alkylthiol with the proviso that when Y is carbonyl, Y and R<sub>4</sub>' together may be a single oxygen atom, R<sub>4</sub>'' and R<sub>5</sub>''' may together be a single oxygen atom, R<sub>4</sub>''' and R<sub>5</sub>''' may together be a single oxygen

10 atom; and

R<sub>4</sub> and R<sub>5</sub> are each independently hydrogen, or a hydrocarbon selected from the group including alkyl, acyl, heterocyclyl, aryl, heteroaryl, aralkyl, alkenyl, and alkynyl, each having one to 20 carbon atoms, which alkyl, acyl, heterocyclyl, aryl, heteroaryl, aralkyl, alkenyl, and alkynyl, are optionally substituted with from 1 to 2 substituents independently selected from the group consisting of halo, aryl, R<sup>22</sup>, SR<sup>20</sup>, S(O)R<sup>21</sup>, SO<sub>2</sub>R<sup>21</sup>, SO<sub>2</sub>NR<sup>20</sup>R<sup>23</sup>, NR<sup>20</sup>R<sup>23</sup>, NR<sup>20</sup>COR<sup>21</sup>, NR<sup>20</sup>CO<sub>2</sub>R<sup>21</sup>, NR<sup>20</sup>SO<sub>2</sub>R<sup>21</sup>, OR<sup>20</sup>, CN, CO<sub>2</sub>R<sup>20</sup>, and CONR<sup>20</sup>R<sup>23</sup>.

7. The 2,6,9-trisubstituted purine composition of claim 3 wherein R<sub>1</sub>' is selected

from the group consisting of aralkyl and heteroarylalkyl.

8. The 2,6,9-trisubstituted purine composition of claim 7 wherein  $R_1'$  is selected from the group consisting of aralkyl, unsubstituted pyridylalkyl and substituted pyridylalkyl and wherein  $R_2$  is selected from the group consisting of lower alkyl, substituted lower alkyl, and alkyl cycloalkyl.

9. A 2,6,9-trisubstituted purine composition of claim 5 wherein:

$R_1'$  is an aryl, heteroaryl, heterocyclyl, aralkyl, heteroarylalkyl, each having one to 20 carbon atoms, which aryl, heteroaryl, heterocyclyl, aralkyl, heteroarylalkyl, are optionally substituted with from 1 to 2 substituents independently selected from the group consisting of halo,  $CF_3$ , aryl,  $R^{22}$ ,  $SR^{20}$ ,  $S(O)R^{21}$ ,  $SO_2R^{21}$ ,  $SO_2NR^{20}R^{23}$ ,  $NR^{20}R^{23}$ ,  $NR^{20}COR^{21}$ ,  $NR^{20}CO_2R^{21}$ ,  $NR^{20}SO_2R^{21}$ ,  $OR^{20}$ , CN,  $CO_2R^{20}$ , and  $CONR^{20}R^{23}$ ;

$R_2$  is a hydrogen or hydrocarbon selected from the group substituted lower alkyl, substituted alkyl, cycloalkyl, substituted cycloalkyl each having one to 10 carbon atoms wherein substitution includes optional substitution with from 1 to 2 substituents independently selected from the group consisting of halo,  $R^{22}$ ,  $SR^{20}$ ,  $S(O)R^{21}$ ,  $SO_2R^{21}$ ,  $NR^{20}R^{23}$ ,  $OR^{20}$ , and CN;

$R_4$  and  $R_5$  are each independently hydrogen, or a hydrocarbon selected from the group including alkyl, acyl, heterocyclyl, aryl, heteroaryl, aralkyl, alkenyl, and alkynyl, each having one to 20 carbon atoms, which alkyl, acyl, heterocyclyl, aryl, heteroaryl, aralkyl, alkenyl, and alkynyl, are optionally substituted with from 1 to 2 substituents independently selected from the group consisting of halo, aryl,  $R^{22}$ ,  $SR^{20}$ ,  $NR^{20}R^{23}$ ,  $NR^{20}COR^{21}$ ,  $NR^{20}CO_2R^{21}$ ,  $NR^{20}SO_2R^{21}$ ,  $OR^{20}$ , CN,  $CO_2R^{20}$ , and  $CONR^{20}R^{23}$ ;

$R^{20}$  is a member selected from the group consisting of H,  $C_{1-8}$ alkyl, which alkyl is optionally substituted with 1 to 2 substituents independently selected from halo, alkyl, mono- or dialkylamino, alkyl or CN, O- $C_{1-6}$  alkyl,  $CF_3$ ;

$R^{21}$  is a member selected from the group consisting of  $C_{1-8}$  alkyl, which alkyl is optionally substituted with 1 to 2 substituents independently selected from the group of halo,  $CF_3$ , CN,  $OR^{20}$ ,  $SR^{20}$ ,  $N(R^{20})_2$ ; and

$R^{22}$  is a member selected from the group consisting of  $C_{1-3}$ alkyl, aryl, heteroaryl which alkyl, aryl, and heteroaryl are optionally substituted with 1 to 3 substituents independently selected from halo, alkyl, mono- or dialkylamino, alkyl or aryl, CN, O- $C_{1-6}$  alkyl,  $CF_3$ .

10. The 2,6,9-trisubstituted purine composition of claim 3 wherein  $R_1'$  is selected from the group consisting of aryl, heterocyclyl, heteroaryl, substituted heteroaryl, and substituted aryl.

11. The 2,6,9-trisubstituted purine composition of claim 3 wherein  $R_1'$  is selected from the group consisting of aryl, unsubstituted pyridyl, substituted pyridyl, and substituted aryl, and  $R_2$  is selected from the group consisting of alkyl, substituted alkyl.

12. The 2,6,9-trisubstituted purine composition of claim 2 wherein  $R_3$  is  $-NR_4R_5$  wherein  $R_4$  and  $R_5$  are each selected from the group consisting of hydrogen, alkyl, heterocyclyl, acyl, aryl, heteroaryl, aralkyl, heteroaralkyl, alkyl alkenyl, alkyl alkynyl, each having one to 20 carbon atoms, which alkyl, acyl, heterocyclyl, aryl, heteroaryl, aralkyl, heteroarylalkyl, are optionally substituted with from 1 to 3 substituents independently selected from the group consisting of halo, aryl, heteroaryl, heterocyclyl,  $R^{22}$ ,  $SR^{20}$ ,  $S(O)R^{21}$ ,  $SO_2R^{21}$ ,  $SO_2NR^{20}R^{23}$ ,  $NR^{20}R^{23}$ ,  $NR^{20}COR^{21}$ ,  $NR^{20}CO_2R^{21}$ ,  $NR^{20}CONR^{20}R^{23}$ ,  $NR^{20}SO_2R^{21}$ ,  $OR^{20}$ , CN,

0929772-092001

$\text{CO}_2\text{R}^{20}$ ,  $\text{CONR}^{20}\text{R}^{23}$ , and  $\text{COR}^{20}$ .

13. A 2,6,9-trisubstituted purine composition of claim 12 wherein:

$\text{R}'_1$  is an aryl, substituted aryl, each having 6 carbon atoms wherein substitution includes optional substitution with from 1 to 2 substituents independently selected from the group consisting of halo,  $\text{CF}_3$ , aryl,  $\text{R}^{22}$ ,  $\text{NR}^{20}\text{R}^{23}$ ,  $\text{NR}^{20}\text{COR}^{21}$ ,  $\text{OR}^{20}$ , CN;

$\text{R}_2$  is a hydrogen or hydrocarbon selected from the group substituted lower alkyl, cycloalkyl, substituted cycloalkyl each having one to 6 carbon atoms wherein substitution includes optional substitution with from 1 to 2 substituents independently selected from the group consisting of halo,  $\text{R}^{22}$ ,  $\text{NR}^{20}\text{R}^{23}$ ,  $\text{OR}^{20}$ ;

$\text{R}_4$  and  $\text{R}_5$  are each independently hydrogen, or a hydrocarbon selected from the group including alkyl, and heterocyclyl wherein each hydrocarbon has from 1 to 12 carbon atoms, which alkyl, and heterocyclyl are optionally substituted with from 1 to 2 substituents independently selected from the group consisting of halo,  $\text{R}^{22}$ ,  $\text{SR}^{20}$ ,  $\text{OR}^{20}$ ,  $\text{NR}^{20}\text{R}^{23}$ , CN,  $\text{CO}_2\text{R}^{20}$ , and  $\text{CONR}^{20}\text{R}^{23}$ ;

$\text{R}^{20}$  is a member selected from the group consisting of H,  $\text{C}_{1-8}$ alkyl;

$\text{R}^{21}$  is a member selected from the group consisting of  $\text{C}_{1-3}$  alkyl, which alkyl is optionally substituted with 1 to 2 substituents independently selected from the group of halo,  $\text{CF}_3$ , CN,  $\text{OR}^{20}$ ,  $\text{SR}^{20}$ ,  $\text{N}(\text{R}^{20})_2$ ; and

$\text{R}^{22}$  is a member selected from the group consisting of  $\text{C}_{1-3}$ alkyl, aryl, heteroaryl which alkyl, aryl, and heteroaryl are optionally substituted with 1 to 3 substituents independently selected from halo, alkyl, mono- or dialkylamino, alkyl or aryl, CN,  $\text{O-C}_{1-6}$  alkyl,  $\text{CF}_3$ .

14. A 2,6,9-trisubstituted purine composition of claim 12 wherein:

R'<sub>1</sub> is an aryl, substituted aryl, each having 6 carbon atoms wherein substitution includes optional substitution with from 1 to 2 substituents independently selected from the group consisting of halo, CF<sub>3</sub>, R<sup>22</sup>, OR<sup>20</sup>, CN;

R<sub>2</sub> is isopropyl;

5 R<sub>4</sub> and R<sub>5</sub> are each independently hydrogen, or a hydrocarbon selected from the group including alkyl, and heterocyclyl wherein each hydrocarbon has from 1 to 12 carbon atoms, which alkyl, and heterocyclyl are optionally substituted with from 1 substituent independently selected from the group consisting of R<sup>22</sup>, OR<sup>20</sup>, NR<sup>20</sup>R<sup>23</sup>;

R<sup>20</sup> is a member selected from the group consisting of H, C<sub>1-2</sub>alkyl;

10 R<sup>21</sup> is a member selected from the group consisting of C<sub>1-3</sub> alkyl;

R<sup>22</sup> is a member selected from the group consisting of C<sub>1-3</sub>alkyl, aryl, which alkyl, aryl, are optionally substituted with 1 substituent independently selected from halo, alkyl, mono- or dialkylamino, CN, CF<sub>3</sub>; and

R<sup>23</sup> is R<sup>21</sup> or H.

15 15. A 2,6,9-trisubstituted purine composition of claim 12 wherein:

R'<sub>1</sub> is an aralkyl, substituted aralkyl, each having 6-8 carbon atoms wherein substitution includes optional substitution with from 1 to 2 substituents independently selected from the group consisting of halo, CF<sub>3</sub>, aryl, R<sup>22</sup>, NR<sup>20</sup>R<sup>23</sup>, NR<sup>20</sup>COR<sup>21</sup>, OR<sup>20</sup>, CN;

20 R<sub>2</sub> is a hydrogen or hydrocarbon selected from the group substituted alkyl, cycloalkyl, substituted cycloalkyl each having one to 6 carbon atoms wherein substitution includes optional substitution with from 1 substituent independently selected from the group consisting of halo, R<sup>22</sup>, NR<sup>20</sup>R<sup>23</sup>, OR<sup>20</sup>;

092972.092001

$R_4$  and  $R_5$  are each independently hydrogen, or a hydrocarbon selected from the group including alkyl and heterocyclyl wherein each hydrocarbon has from 1 to 12 carbon atoms, which alkyl and heterocyclyl are optionally substituted with from 1 to 2 substituents independently selected from the group consisting of halo,  $R^{22}$ ,  $SR^{20}$ ,  $OR^{20}$ ,  $NR^{20}R^{23}$ , CN,  $CO_2R^{20}$ , and  $CONR^{20}R^2$ ;

$R^{20}$  is a member selected from the group consisting of H,  $C_{1-8}$ alkyl;

$R^{21}$  is a member selected from the group consisting of  $C_{1-3}$  alkyl, which alkyl is optionally substituted with 1 to 2 substituents independently selected from the group of halo,  $CF_3$ , CN,  $OR^{20}$ ,  $SR^{20}$ ,  $N(R^{20})_2$ ; and

$R^{22}$  is a member selected from the group consisting of  $C_{1-3}$ alkyl, aryl, heteroaryl which alkyl, aryl, and heteroaryl are optionally substituted with 1 to 3 substituents independently selected from halo, alkyl, mono- or dialkylamino, alkyl or aryl, CN, O- $C_{1-6}$  alkyl,  $CF_3$ .

16. A 2,6,9-trisubstituted purine composition of claim 12 wherein:

$R'_1$  is  $-CH_2-$ phenyl wherein the phenyl ring is optionally substituted with from 1 to 2 substituents independently selected from the group consisting of halo,  $CF_3$ ,  $R^{22}$ ,  $OR^{20}$ , CN;

$R_2$  is isopropyl;

$R_4$  and  $R_5$  are each independently hydrogen, or a hydrocarbon selected from the group including alkyl, and heterocyclyl wherein each hydrocarbon has from 1 to 12 carbon atoms, which alkyl, and heterocyclyl are optionally substituted with from 1 to 2 substituents independently selected from the group consisting of  $R^{22}$ ,  $OR^{20}$ ,  $NR^{20}R^{23}$ ;

$R^{20}$  is a member selected from the group consisting of H,  $C_{1-2}$ alkyl;

$R^{21}$  is a member selected from the group consisting of  $C_{1-3}$  alkyl;

00029772-000001

$R^{22}$  is a member selected from the group consisting of  $C_{1-3}$ alkyl, aryl, which alkyl, aryl, are optionally substituted with 1 substituent independently selected from halo, alkyl, mono- or dialkylamino, CN,  $CF_3$ ; and

$R^{23}$  is  $R^{21}$  or H.

5           17.    The 2,6,9-trisubstituted purine composition of claim 12 wherein  $R_1'$  is selected from the group consisting of aralkyl, substituted pyridylalkyl, and unsubstituted pyridylalkyl;

$R_2$  is selected from the group consisting of alkyl, which alkyl is optionally substituted with from 1 to 2 substituents independently selected from the group consisting of halo,  $R^{22}$ ,  $NR^{20}R^{23}$ ,  $OR^{20}$ ;

10            $R_4$  is a substituted alkyl having from 2 to 6 carbon atoms optionally substituted with from 1 to 3 substituents independently selected from the group consisting of  $R^{22}$ ,  $OR^{20}$ ,  $NR^{20}R^{23}$ ;

$R_5$  is selected from the group consisting of hydrogen, alkyl, acyl, heterocyclyl, aryl, heteroaryl, aralkyl, each having one to 20 carbon atoms, which alkyl, acyl, heterocyclyl, aryl, heteroaryl, aralkyl, are optionally substituted with from 1 to 2 substituents independently selected from the group consisting of halo, aryl,  $R^{22}$ ,  $SR^{20}$ ,  $NR^{20}R^{23}$ ,  $NR^{20}COR^{21}$ ,  $NR^{20}CO_2R^{21}$ ,  $NR^{20}SO_2R^{21}$ ,  $OR^{20}$ , CN,  $CO_2R^{20}$ , and  $CONR^{20}R^{23}$ ;

$R^{20}$  is a member selected from the group consisting of H,  $C_{1-2}$  alkyl;

$R^{21}$  is a member selected from the group consisting of  $C_{1-3}$  alkyl;

20            $R^{22}$  is a member selected from the group consisting of  $C_{1-3}$  alkyl, aryl, which alkyl, aryl, are optionally substituted with 1 substituent independently selected from halo, alkyl, mono- or dialkylamino, CN,  $CF_3$ ; and

$R^{23}$  is  $R^{21}$  or H.

09929772-092001

18. The 2,6,9-trisubstituted purine composition of claim 12 wherein  $R_1$  is selected from the group consisting of aryl, substituted aryl, pyridyl, and substituted pyridyl;

$R_2$  is selected from the group consisting of alkyl, which alkyl is optionally substituted with from 1 to 2 substituents independently selected from the group consisting of halo,  $R^{22}$ ,  $NR^{20}R^{23}$ ,  $OR^{20}$ ;

$R_4$  is a substituted alkyl having from 2 to 6 carbon atoms optionally substituted with from 1 to 3 substituents independently selected from the group consisting of  $R^{22}$ ,  $OR^{20}$ ,  $NR^{20}R^{23}$ ;

$R_5$  is selected from the group consisting of hydrogen, alkyl, acyl, heterocyclyl, aryl, heteroaryl, aralkyl, each having one to 20 carbon atoms, which alkyl, acyl, heterocyclyl, aryl, heteroaryl, aralkyl, are optionally substituted with from 1 to 2 substituents independently selected from the group consisting of halo, aryl,  $R^{22}$ ,  $SR^{20}$ ,  $NR^{20}R^{23}$ ,  $NR^{20}COR^{21}$ ,  $NR^{20}CO_2R^{21}$ ,  $NR^{20}SO_2R^{21}$ ,  $OR^{20}$ , CN,  $CO_2R^{20}$ , and  $CONR^{20}R^{23}$ ;

$R^{20}$  is a member selected from the group consisting of H,  $C_{1-2}$  alkyl;

$R^{21}$  is a member selected from the group consisting of  $C_{1-3}$  alkyl;

$R^{22}$  is a member selected from the group consisting of  $C_{1-3}$  alkyl, aryl, which alkyl, aryl, are optionally substituted with 1 substituent independently selected from halo, alkyl, mono- or dialkylamino, CN,  $CF_3$ ; and

$R^{23}$  is  $R^{21}$  or H.

19. The 2,6,9-trisubstituted purine composition of claim 12 wherein  $R_1$  is selected from the group consisting of aralkyl, pyridylalkyl, and substituted pyridylalkyl;



$R_2$  is selected from the group consisting of alkyl, which alkyl is optionally substituted with from 1 to 2 substituents independently selected from the group consisting of halo,  $R^{22}$ , and  $OR^{20}$ ;

$R_4$  and  $R_5$  are each a substituted alkyl having from 2 to 6 carbon atoms optionally substituted with from 1 substituent independently selected from the group consisting of  $R^{22}$ ,  $NR^{20}R^{23}$ , and  $OR^{20}$ ;

$R^{20}$  is a member selected from the group consisting of H,  $C_{1-2}$  alkyl;

$R^{21}$  is a member selected from the group consisting of  $C_{1-3}$  alkyl;

$R^{22}$  is a member selected from the group consisting of  $C_{1-3}$  alkyl; and

10  $R^{23}$  is  $R^{21}$  or H.

20. The 2,6,9-trisubstituted purine composition of claim 12 wherein  $R_1'$  is  $CH_2$ -aryl or  $CH_2$ -substituted aryl,  $R_2$  is lower alkyl or substituted lower alkyl, and  $R_4$  and  $R_5$  are each  $-CH_2CH_2OH$ ,  $-CHR'CH_2OH$ , or  $-CH_2CHR'OH$  wherein  $R'$  is hydrogen or alkyl having from 1 to 6 carbon atoms.

15 21. The 2,6,9-trisubstituted purine composition of claim 12 wherein  $R_1'$  is  $CH_2$ -Aryl or  $CH_2$ -substituted aryl,  $R_2$  is lower alkyl, and  $R_4 = H$ , and  $R_5$  is  $-CH_2CH_2NH_2$ ,  $CHR'CH_2NH_2$ ,  $-CH_2CHR'NH_2$  wherein  $R'$  is hydrogen or alkyl having from 1 to 6 carbon atoms.

20 22. The 2,6,9-trisubstituted purine composition of claim 21 wherein  $R_2$  is isopropyl.

23. The 2,6,9-trisubstituted purine composition of claim 12 wherein  $R_1'$  is  $CH_2$ -Aryl or  $CH_2$ -substituted aryl,  $R_2$  is lower alkyl, and  $R_4 = -CH_2CH_2OH$   $R_5$  is  $CH_2CH_2NH_2$ , or

092372-03201

-CHR'CH<sub>2</sub>NH<sub>2</sub>, or -CH<sub>2</sub>CHR'NH<sub>2</sub> wherein R' is hydrogen or alkyl having from 1 to 6 carbon atoms.

24. The 2,6,9-trisubstituted purine composition of claim 23 wherein R<sub>2</sub> is isopropyl.

25. The 2,6,9-trisubstituted purine composition of claim 20 wherein R<sub>2</sub> is isopropyl.

26. The 2,6,9-trisubstituted purine composition of claim 12 wherein R<sub>1</sub>' is selected from the group consisting of aryl, substituted aryl, pyridyl, and substituted pyridyl, R<sub>2</sub> is selected from the group consisting of lower alkyl, substituted lower alkyl, and alkyl cycloalkyl, and R<sub>4</sub> and R<sub>5</sub> are each a substituted lower alkyl having from 2 to 6 carbon atoms.

27. The 2,6,9-trisubstituted purine composition of claim 12 wherein R<sub>1</sub>' is aryl or substituted aryl, R<sub>2</sub> is lower alkyl, or substituted lower alkyl, and R<sub>4</sub> and R<sub>5</sub> are each CH<sub>2</sub>CH<sub>2</sub>OH, -CHR'CH<sub>2</sub>OH, or -CH<sub>2</sub>CHR'OH wherein R' is hydrogen or alkyl having from 1 to 6 carbon atoms.

28. The 2,6,9-trisubstituted purine composition of claim 27 wherein R<sub>2</sub> is isopropyl.

29. The 2,6,9-trisubstituted purine composition of claim 12 wherein R<sub>1</sub>' is benzyl substituted with a halogen, alkoxy, phenyl, pyridyl or nitro group, R<sub>2</sub> is isopropyl, and R<sub>4</sub> and R<sub>5</sub> are each -CH<sub>2</sub>CH<sub>2</sub>OH.

30. The 2,6,9-trisubstituted purine composition of claim 12 wherein R<sub>1</sub>' is benzyl substituted with a halogen, alkoxy, phenyl, pyridyl or nitro group, R<sub>2</sub> is isopropyl, R<sub>4</sub> = H, and R<sub>5</sub> = CH<sub>2</sub>CH<sub>2</sub>NH<sub>2</sub>.

0929772.092001

31. The 2,6,9-trisubstituted purine composition of claim 12 wherein R<sub>1</sub>' is benzyl substituted with a halogen, alkoxy, C<sub>1-3</sub> alkyl, CF<sub>3</sub>, phenyl, pyridyl or nitro group, R<sub>2</sub> is isopropyl, R<sub>4</sub> = H, and R<sub>5</sub> = CH<sub>2</sub>CHR'NH<sub>2</sub> wherein R' is hydrogen or alkyl having from 1 to 6 carbon atoms.

32. The 2,6,9-trisubstituted purine composition of claim 12 wherein R<sub>1</sub>' is benzyl substituted with a halogen, alkoxy, C<sub>1-3</sub> alkyl, CF<sub>3</sub>, phenyl, pyridyl or nitro group, R<sub>2</sub> is isopropyl, R<sub>4</sub> = H, and R<sub>5</sub> = CH<sub>2</sub>CR'R'NH<sub>2</sub> wherein R' is hydrogen or alkyl having from 1 to 6 carbon atoms.

33. The 2,6,9-trisubstituted purine composition of claim 1 selected from the group consisting of 2-{{(2-hydroxyethyl)[9-(methylethyl)-6-({(4-(trifluoromethyl)phenyl)methyl}amino)purin-2-yl]amino}ethan-1-ol, {(2S)oxolan-2-yl)methyl}[(6-{{(4-fluorophenyl)methyl}amino}-9-(methylethyl)purin-2-yl)amine, [[[2R)oxolan-2-yl)methyl](6-{{(4-fluorophenyl)methyl}amino}-9-(methylethyl)purin-2-yl)amine, (2-aminoethyl)(6-{{(3,5-dichlorophenyl)methyl}amino}-9-(methylethyl)purin-2-yl)amine, (2-aminoethyl)[6-{{(4-chloro-3-(trifluoromethyl)phenyl)methyl}amino}-9-(methylethyl)purin-2-yl]amine, [-(6-{{(4-chlorophenyl)methyl}amino}-9-(methylethyl)purin-2-yl)amino]-3-methylbutanamide, (2-amino-2-methylpropyl)(6-{{(4-chlorophenyl)methyl}amino}-9-(methylethyl)purin-2-yl)amine, 3-(2-[bis(2-hydroxyethyl)amino]-6-{{(4-chlorophenyl)methyl}amino}purin-9-yl)butan-2-one, 2-[(6-{{(4-chlorophenyl)methyl}amino}-9-(methylethyl)purin-2-yl)amino]-3-methylbutan-1-ol, 4-[(2-[(2-aminoethyl)amino]-9-(methylethyl)purin-6-yl)amino)methyl]benzenesulfonamide, 2-[(2-hydroxyethyl)(6-{{(4-

09929772.092001

methoxyphenyl)methyl]amino}-9-(methylethyl)purin-2-yl)amino]ethan-1-ol, 2-((2-hydroxyethyl){9-(methylethyl)-6-[(4-phenylphenyl)amino]purin-2-yl)amino}ethan-1-ol, {2-[(2-amino-2-propyl)amino]-9-(methylethyl)purin-6-yl}[(4-hlorophenyl)methyl]amine, {2-[(2-aminoethyl)amino]-9-(methylethyl)purin-6-yl}[(4-chlorophenyl)methyl]amine, 5 {2-[(2-aminopropyl)amino]-9-(methylethyl)purin-6-yl}[(4-chlorophenyl)methyl]amine and 2-[(2-aminoethyl)(6-[(4-chlorophenyl)methyl]amino)-9-(methylethyl)purin-2-yl)amino]ethan-1-ol.

34. The 2,6,9-trisubstituted purine composition of claim 12 wherein R<sub>1</sub>' is phenyl substituted with a halogen, alkoxy, phenyl, pyridyl or nitro group, R<sub>2</sub> is isopropyl, and R<sub>4</sub> and 10 R<sub>5</sub> are each -CH<sub>2</sub>CH<sub>2</sub>OH.

35. The 2,6,9-trisubstituted purine composition of claim 12 wherein R<sub>1</sub>' is biphenylmethyl, R<sub>2</sub> is isopropyl, and R<sub>4</sub> and R<sub>5</sub> are each -CH<sub>2</sub>CH<sub>2</sub>OH.

36. The 2,6,9-trisubstituted purine composition of claim 12 wherein R<sub>1</sub>' is selected from the group consisting of 3-methylthiophenyl, 4-methylthiophenyl, 4-phenylbenzyl, 4-15 methoxybenzyl, 4-biphenyl, 3-methoxybenzyl, 4-(2-thienyl)benzyl, 4-(4-methyl)phenylbenzyl, 4-(4-trifluoromethyl)phenylbenzyl, 4-(4-nitrilo)phenylbenzyl, 4-(2-pyridinyl)benzyl, piperonyl, 3-methoxbenzyl, 4-chlorobenzyl, and 4-nitrobenzyl, R<sub>2</sub> is isopropyl, and R<sub>4</sub> and R<sub>5</sub> are both CH<sub>2</sub>CH<sub>2</sub>OH.

37. The 2,6,9-trisubstituted purine composition of claim 36 wherein R<sub>1</sub>' is selected 20 from the group of compounds consisting of 4-methoxybenzyl, 4-phenylbenzyl, 4-methoxybenzyl, 4-biphenyl, 3-methoxybenzyl, 4-(2-thienyl)benzyl, 4-(4-methyl)phenylbenzyl, 4-(4-trifluoromethyl)phenylbenzyl, 4-(4-nitrilo)phenylbenzyl, 4-(2-pyridinyl)benzyl, piperonyl, 3-thiomethoxyphenyl, 4-thiomethoxyphenyl and 4-bromophenyl.

0929772-092001

38. A cationic salt of the composition of claim 1.

39. An acid addition salt of the composition of claim 1.

40. A method for inhibiting cell proliferation in mammals comprising administering a therapeutically effective amount of the composition of claim 1 to the mammal.

41. The method of claim 40 wherein the therapeutically effective amount ranges from about 0.001 to about 100 mg/kg weight of the mammal.

42. The method of claim 40 wherein the composition is administered to a mammal suffering from a cell proliferation disorder selected from the group consisting of rheumatoid arthritis, lupus, type I diabetes, multiple sclerosis, cancer, restenosis following balloon angioplasty or atherectomy, restenosis following vascular modifying surgical procedures, host graft disease, and gout.

43. The method of claim 42 wherein the cell proliferation disorder is restenosis.

44. The method of claim 42 wherein the cell proliferation is disorder cancer.

45. The method of claim 42 wherein the cell proliferation disorder is polycystic kidney disease.

46. The method of claim 42 wherein the mammal is a human.

47. A pharmaceutical composition of matter comprising the composition of claim 1 and one or more pharmaceutical excipients.

48. An antifungal agent useful for treating fungal infections in humans, and animals comprising the composition of claim 1.

49. The method of claim 42 wherein the cell proliferation disorder is selected from the group consisting of lymphoid neoplasm, cancer of the colon, breast cancer,

09929772-000001

ovarian cancer, pancreatic cancer, and cancers derived from endothelial cells.

09929772-092001